10/587,613C Yong Chu 02/01/2010

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23	Addition of SCAN format to selected STN databases
NEWS	11	NOV 23	Annual Reload of IFI Databases
NEWS	12	DEC 01	FRFULL Content and Search Enhancements
NEWS	13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus
NEWS	18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS	19	JAN 25	Annual Reload of MEDLINE database

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 21:30:23 ON 01 FEB 2010

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 21:30:44 ON 01 FEB 2010
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 JAN 2010 HIGHEST RN 1204295-01-1
DICTIONARY FILE UPDATES: 31 JAN 2010 HIGHEST RN 1204295-01-1

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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(FILE 'HOME' ENTERED AT 21:30:23 ON 01 FEB 2010)

FILE 'REGISTRY' ENTERED AT 21:30:44 ON 01 FEB 2010

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ENTER NAME OF SAVED ITEM TO ACTIVATE OR (END):yc10587613/a
L1 STR
L2 958 SEA FILE=REGISTRY SSS FUL L1

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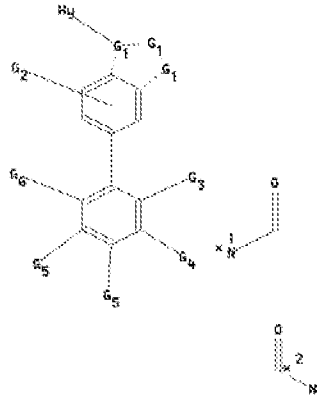

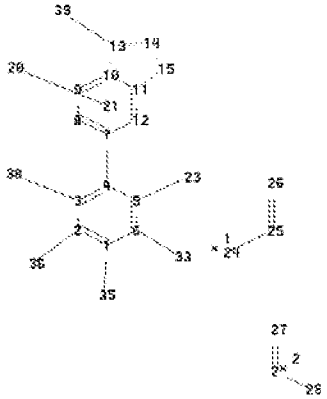

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20 23 24 25 26 27 28 29 33 35 36 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
1-35 2-36 3-38 4-7 5-23 6-33 13-39 24-25 25-26 27-28 28-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 10-13 11-12 11-15 13-14
14-15
exact/norm bonds :
1-35 2-36 3-38 4-7 5-23 6-33 10-13 11-15 13-14 13-39 14-15 24-25 25-26 27-28 28-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 :

G1:C,O,S,N

G2:O,CH,t-Bu,X,H

G3:H,CH3,Et,n-Pr

G4:[*1],[*2]

G5:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

G6:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 20:CLASS 21:Atom 23:CLASS 24:CLASS
25:CLASS 26:CLASS
27:CLASS 28:CLASS 29:CLASS 33:CLASS 35:CLASS 36:CLASS 38:CLASS 39:Atom
Generic attributes :
39:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

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Element Count :
Node 39: Limited
    O,O2
    S,S2
    N,N2




L3      STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l3 sam sss sub=l2
SAMPLE SUBSET SEARCH INITIATED 21:33:02 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -       54 TO ITERATE

100.0% PROCESSED       54 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):          640 TO     1520
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):               0 TO        0

L4             0 SEA SUB=L2 SSS SAM L3

=> s l3 full sss sub=l2
FULL SUBSET SEARCH INITIATED 21:33:22 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -      958 TO ITERATE

100.0% PROCESSED      958 ITERATIONS                               59 ANSWERS
SEARCH TIME: 00.00.01

L5            59 SEA SUB=L2 SSS FUL L3

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02012010.str
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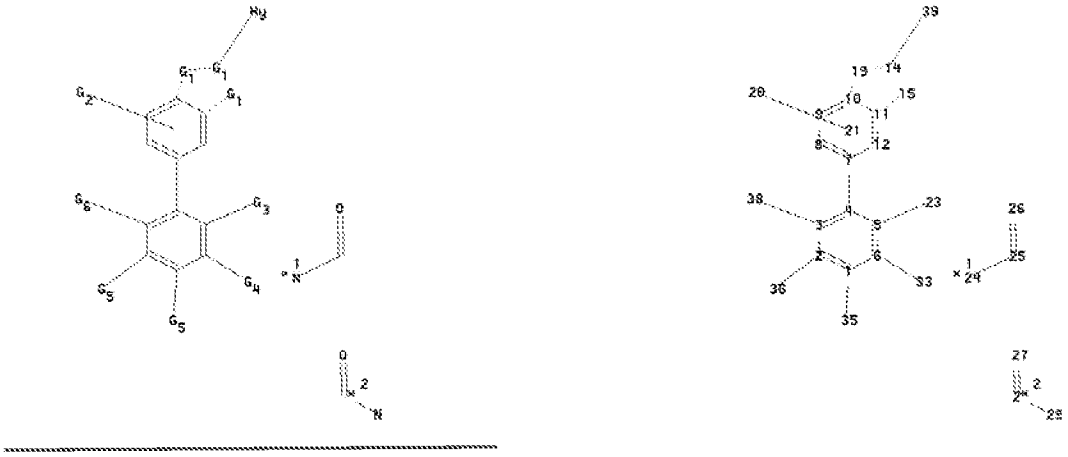

chain nodes :
20 23 24 25 26 27 28 29 33 35 36 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
1-35 2-36 3-38 4-7 5-23 6-33 14-39 24-25 25-26 27-28 28-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 10-13 11-12 11-15 13-14
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exact/norm bonds :
1-35 2-36 3-38 4-7 5-23 6-33 10-13 11-15 13-14 14-15 14-39 24-25 25-26 27-28 28-29
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G1:C,O,S,N

G2:O,CH,t-Bu,X,H

G3:H,CH3,Et,n-Pr

G4:[*1],[*2]

G5:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

G6:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 20:CLASS 21:Atom 23:CLASS 24:CLASS
25:CLASS 26:CLASS
27:CLASS 28:CLASS 29:CLASS 33:CLASS 35:CLASS 36:CLASS 38:CLASS 39:Atom
Generic attributes :
39:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

Element Count :
Node 39: Limited
    O,O2
    S,S2
    N,N2

L6   STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6   STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l6 full sss sub=l2
FULL SUBSET SEARCH INITIATED 21:34:50 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -   958 TO ITERATE

100.0% PROCESSED   958 ITERATIONS   6 ANSWERS
SEARCH TIME: 00.00.01

L7   6 SEA SUB=L2 SSS FUL L6

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
FULL ESTIMATED COST   94.92   95.14

FILE 'CAPLUS' ENTERED AT 21:35:17 ON 01 FEB 2010
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FILE COVERS 1907 - 1 Feb 2010 VOL 152 ISS 6
FILE LAST UPDATED: 31 Jan 2010 (20100131/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l5
L8 9 L5

=> s l7
L9 3 L7

=> s l8 not l9
L10 9 L8 NOT L9

=> d l8 ibib abs hitstr tot

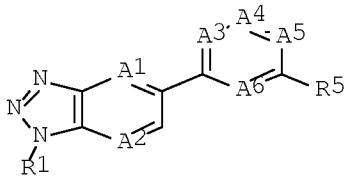
L8 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:360171 CAPLUS Full-text
DOCUMENT NUMBER: 150:374537
TITLE: Preparation of triazole fused heteroaryl compounds as p38 kinase inhibitors
INVENTOR(S): Pettus, Liping H.; Sham, Kelvin K. C.; Tasker, Andrew; Xu, Shimin
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 88pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009038784	A1	20090326	WO 2008-US10931	20080919

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-994806P P 20070921
OTHER SOURCE(S): MARPAT 150:374537
GI



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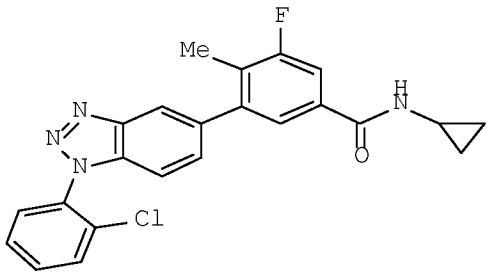


II

AB The title compds. I [A1 = CR2, N; A2 = CR3, N; A3 = CR4; A4-A6 = CR6, N (provided that no more than two of A3-A6 = N); R1 = alkyl, alkoxy, thioalkyl, etc.; R2, R3 = H, halo, haloalkyl, etc.; R4 = H, halo, haloalkyl, etc.; R5 = CONR7R7, CONR7R8, NR7COR7, etc.; R6 = H, halo, haloalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = partially of fully satd. or unsatd. 3-8 membered monocyclic, 6-12 membered bicyclic, 7-14 membered tricyclic ring system, etc.], useful for modulating the activity of p38 MAP kinase, were prepd. E.g., a multi-step synthesis of II, starting from 1-bromo-4-fluoro-3-nitrobenzene and 2-chloroaniline, was given. Exemplified compds. I were tested in various biol. tests (data given for representative compds. I). The invention further provides pharmaceutical compns. including one or more compds. I, use of such compds. and compns. for treatment of p38 MAP kinase mediated diseases including rheumatoid arthritis, psoriasis and other inflammatory disorders, as well as intermediates and processes useful for the prepn. of compds. I.

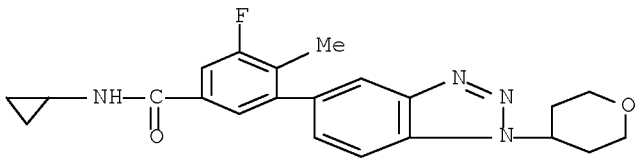
IT 1135352-10-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazole fused heteroaryl compds. for lowering plasma concns. of TNF-.alpha., IL-1, IL-6, IL-8 or a combination thereof)

RN 1135352-10-1 CAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(tetrahydro-2H-pyran-4-yl)-1H-benzotriazol-5-yl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1481200 CAPLUS Full-text
DOCUMENT NUMBER: 150:29003
TITLE: NF-.kappa.B inhibitor-p38 MAP kinase inhibitor combination for the treatment of cancer and inflammatory diseases
INVENTOR(S): Fu, Haian; Liotta, Dennis C.; Thomas, Shala L.; Snyder, James P.
PATENT ASSIGNEE(S): Emory University, USA
SOURCE: PCT Int. Appl., 122pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008150899	A1	20081211	WO 2008-US65132	20080529

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

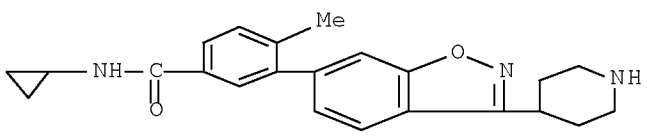
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-932125P P 20070529
OTHER SOURCE(S): MARPAT 150:29003

AB The invention is directed to combinations of compds. useful in the treatment and prevention of cancer and inflammatory conditions or diseases. In particular embodiments, the combinations comprise one or more compds. that are NF-.kappa.B inhibitors or p38 MAPK inhibitors. The invention further provides pharmaceutical compns. and methods of treatment using the combinations. In one embodiment, the NF -KB inhibitor is a curcumin analog.

IT 651780-51-7 1092358-66-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NF-.kappa.B inhibitor-p38 MAP kinase inhibitor combination for treatment of cancer and inflammatory diseases)

RN 651780-51-7 CAPLUS
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



RN 1092358-66-1 CAPLUS
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-, mixt. with 3,5-bis[(2-fluorophenyl)methylene]-4-piperidinone (CA

INDEX NAME)

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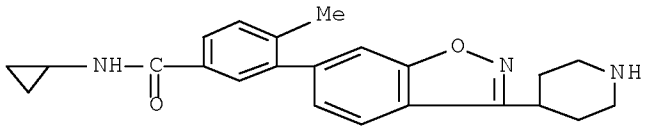

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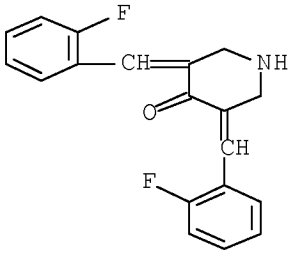


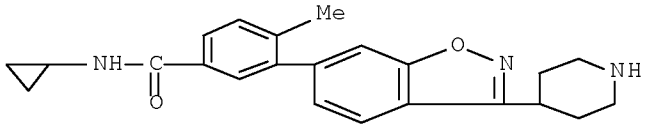
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1138529 CAPLUS Full-text
DOCUMENT NUMBER: 149:548255
TITLE: Kinase array design, back to front: Biaryl amides
AUTHOR(S): Baldwin, Ian; Bamborough, Paul; Haslam, Claudine G.; Hunjan, Suchete S.; Longstaff, Tim; Mooney, Christopher J.; Patel, Shila; Quinn, Jo; Somers, Don O.
CORPORATE SOURCE: Medicines Research Centre, GlaxoSmithKline R&D, Stevenage, Hertfordshire, SG1 2NY, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(19), 5285-5289
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:548255
AB New kinase inhibitors can be found by synthesis of targeted arrays of compds. designed using system-based knowledge as well as through screening focused or

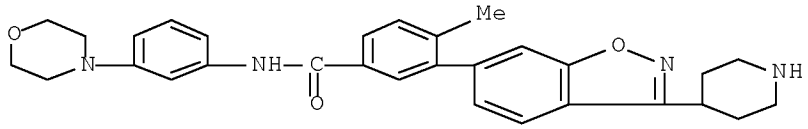
diverse compds. Most array strategies aim to add functionality to a fragment that binds in the purine subpocket of the ATP-site. Here, an alternative pharmacophore-guided array approach is described which set out to discover novel purine subpocket-binding groups. Results are shown for p38.alpha. and cFMS kinase, for which multiple distinct series with nanomolar potency were discovered. Some of the compds. showed potency in cell-based assays and good pharmacokinetic properties.

IT 651780-51-7 651780-52-8 651780-53-9
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(generation of biaryl amide kinase inhibitor lead compds. by addn. of functionality to compds. already binding in the lipophilic interiors of kinase ATP-binding sites to find structural fragments binding in the purine subpockets)

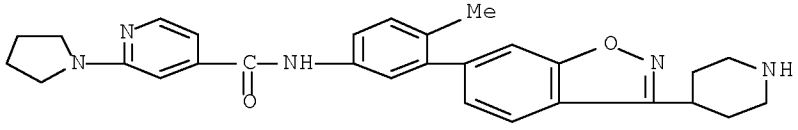
RN 651780-51-7 CAPLUS
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



RN 651780-52-8 CAPLUS
CN Benzamide, 4-methyl-N-[3-(4-morpholinyl)phenyl]-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



RN 651780-53-9 CAPLUS
CN 4-Pyridinecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:732643 CAPLUS Full-text
DOCUMENT NUMBER: 143:193999
TITLE: Preparation of fused heteroaryl derivatives as p38 kinase inhibitors
INVENTOR(S): Campos, Sebastien Andre; Swanson, Stephen; Walker, Ann Louise
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073219	A1	20050811	WO 2005-GB281	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1745038	A1	20070124	EP 2005-702034	20050127
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
JP 2007519695	T	20070719	JP 2006-550298	20050127
US 20070142372	A1	20070621	US 2006-587614	20060728

PRIORITY APPLN. INFO.: GB 2004-2140 A 20040130
WO 2005-GB281 W 20050127
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:193999; MARPAT 143:193999
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

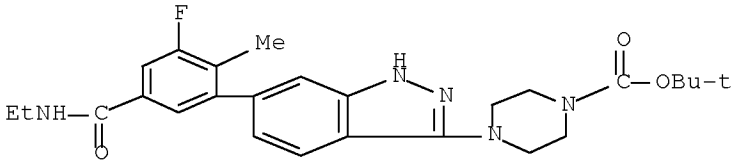
AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepd. by coupling of N-cyclopropyl-3-fluoro-5-(1H-indazol-5-yl)-4-methylbenzamide (prepn. given) with 2-(bromomethyl)tetrahydro-2H-pyran. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

IT 861972-51-2P 861972-52-3P 861972-53-4P
861972-54-5P 861972-55-6P 861972-56-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861972-51-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 861972-52-3 CAPLUS

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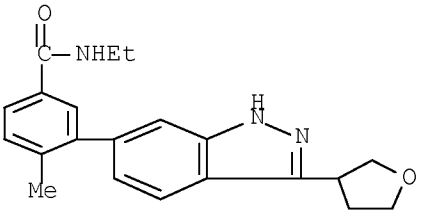
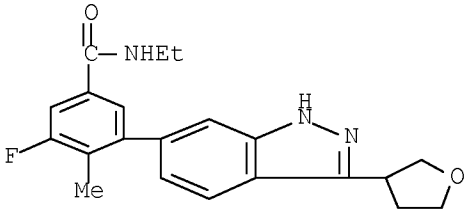


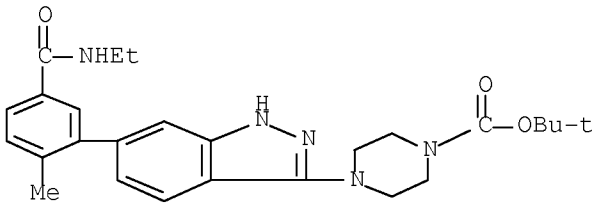
RN 861972-53-4 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(tetrahydro-3-furanyl)-1H-indazol-6-yl]- (CA INDEX NAME)

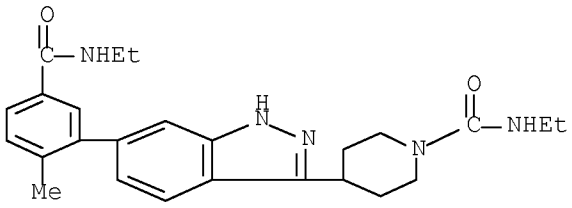


RN 861972-54-5 CAPLUS

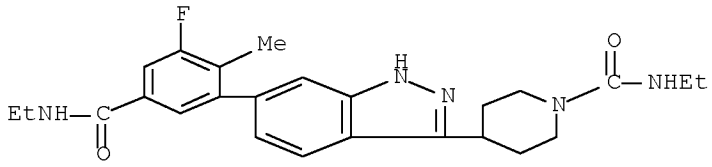
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



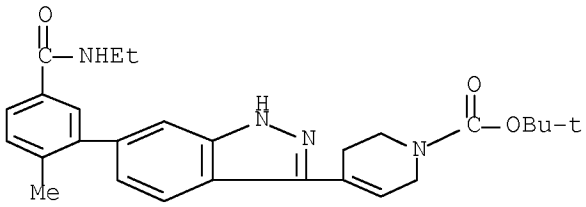
RN 861972-55-6 CAPLUS
CN 1-Piperidinecarboxamide, N-ethyl-4-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl]- (CA INDEX NAME)



RN 861972-56-7 CAPLUS
CN 1-Piperidinecarboxamide, N-ethyl-4-[6-[5-[(ethylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-3-yl]- (CA INDEX NAME)



IT 861972-61-4P 861972-62-5P 861972-63-6P
861972-65-8P 861972-66-9P 861972-67-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)
RN 861972-61-4 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 861972-62-5 CAPLUS
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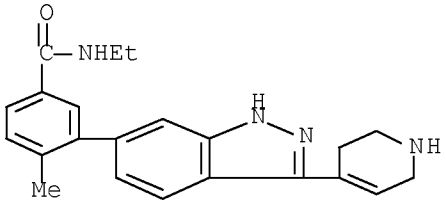
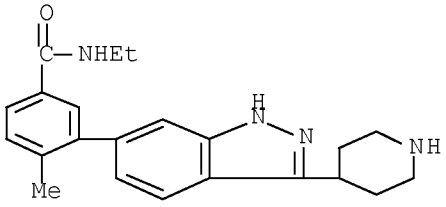
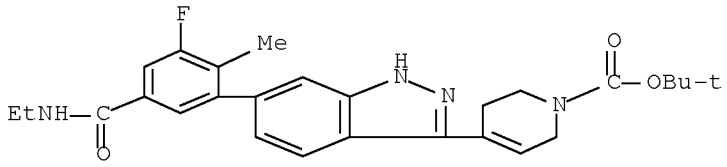


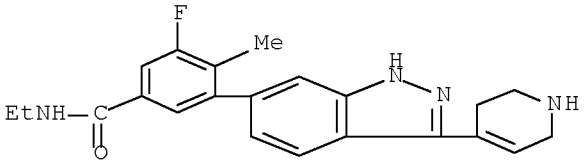
RN 861972-63-6 CAPLUS
CN Benzamide, N-ethyl-4-methyl-3-[3-(4-piperidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



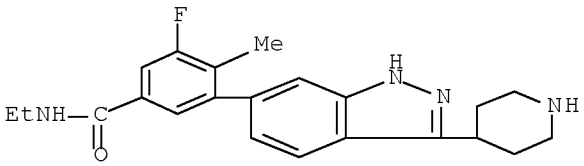
RN 861972-65-8 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-3-yl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 861972-66-9 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



RN 861972-67-0 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(4-piperidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:732641 CAPLUS Full-text
DOCUMENT NUMBER: 143:211908
TITLE: Preparation of fused heteroaryl derivatives as p38 kinase inhibitors
INVENTOR(S): Patel, Vipulkumar Kantibhai; Swanson, Stephen
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073217	A1	20050811	WO 2005-GB266	20050127

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1709028	A1	20061011	EP 2005-702023		20050127
EP 1709028	B1	20081105			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS					
JP 2007519693	T	20070719	JP 2006-550295		20050127
AT 413392	T	20081115	AT 2005-702023		20050127
ES 2314612	T3	20090316	ES 2005-702023		20050127
US 20070054942	A1	20070308	US 2006-587613		20060728
PRIORITY APPLN. INFO.:			GB 2004-2138	A	20040130
			WO 2005-GB266	W	20050127

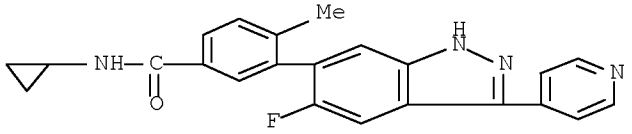
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:211908; MARPAT 143:211908
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepd. by palladium catalyzed coupling of 6-bromo-5-fluoro-3-(4-pyridinyl)-1H-indazole (prepn. given) with N-cyclopropyl-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzamide. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

IT 862098-61-1P 862098-63-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 862098-61-1 CAPLUS
CN Benzamide, N-cyclopropyl-3-[5-fluoro-3-(4-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



RN 862098-63-3 CAPLUS
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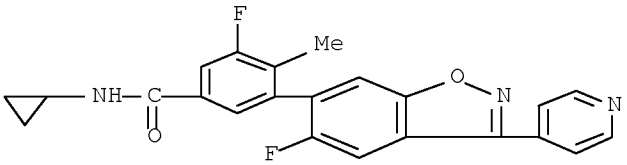
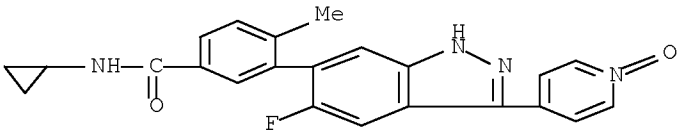
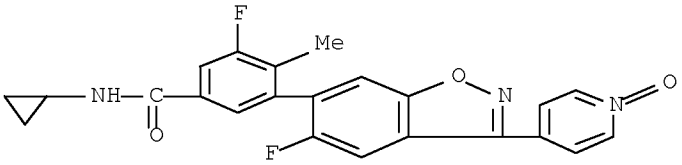


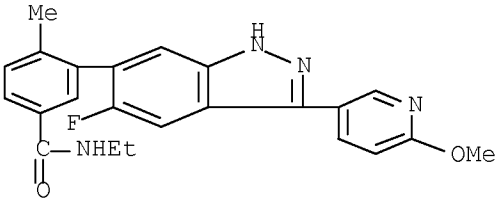
IT 862098-62-2P 862098-64-4P 862098-65-5P
862098-66-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)
RN 862098-62-2 CAPLUS
CN Benzamide, N-cyclopropyl-3-[5-fluoro-3-(1-oxido-4-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



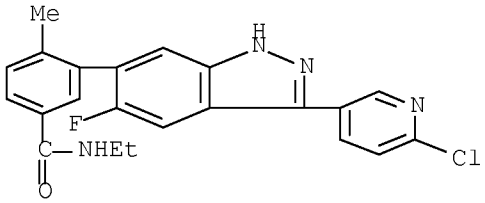
RN 862098-64-4 CAPLUS
CN Benzamide, N-cyclopropyl-3-fluoro-5-[5-fluoro-3-(1-oxido-4-pyridinyl)-1,2-benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)



RN 862098-65-5 CAPLUS
CN Benzamide, N-ethyl-3-[5-fluoro-3-(6-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



RN 862098-66-6 CAPLUS
CN Benzamide, 3-[3-(6-chloro-3-pyridinyl)-5-fluoro-1H-indazol-6-yl]-N-ethyl-4-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:729633 CAPLUS Full-text
DOCUMENT NUMBER: 143:211906
TITLE: Preparation of fused heteroaryl derivatives as p38 kinase inhibitors
INVENTOR(S): Bamborough, Paul; Campos, Sebastien Andre; Patel, Vipulkumar Kantibhai; Swanson, Stephen; Walker, Ann Louise
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073189	A1	20050811	WO 2005-GB265	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1708996	A1	20061011	EP 2005-702022	20050127
EP 1708996	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007519692	T	20070719	JP 2006-550294	20050127
AT 406351	T	20080915	AT 2005-702022	20050127
ES 2313283	T3	20090301	ES 2005-702022	20050127

US 20090023725 A1 20090122 US 2006-587790 20060728
PRIORITY APPLN. INFO.: GB 2004-2143 A 20040130
WO 2005-GB265 W 20050127
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:211906; MARPAT 143:211906
GI

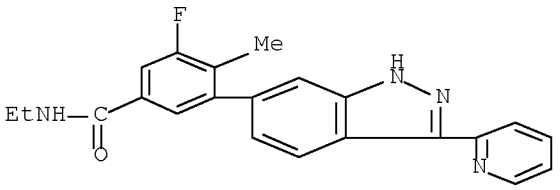
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepd. by palladium catalyzed Suzuki coupling of 5-bromo-1-phenyl-1H-indazole (prepn. given) with {5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl}boronic acid. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

IT 861904-94-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861904-94-1 CAPLUS

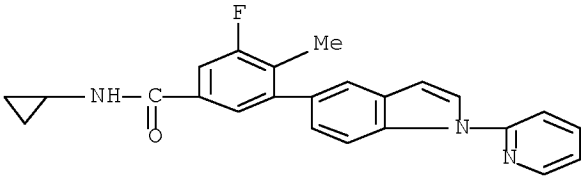
CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(2-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



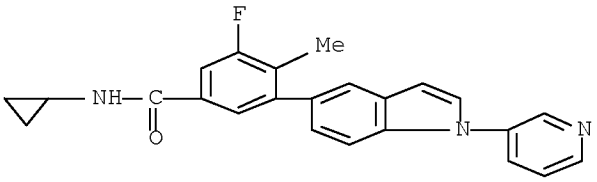
IT 861904-46-3P 861904-47-4P 861904-68-9P
861904-69-0P 861904-87-2P 861904-93-0P
861904-95-2P 861904-97-4P 861905-00-2P
861905-01-3P 861905-02-4P 861905-03-5P
861905-05-7P 861905-07-9P 861905-08-0P
861905-09-1P 861905-13-7P 861905-15-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861904-46-3 CAPLUS

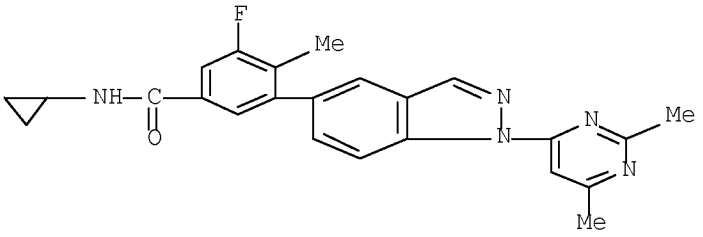
CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(2-pyridinyl)-1H-indol-5-yl]- (CA INDEX NAME)



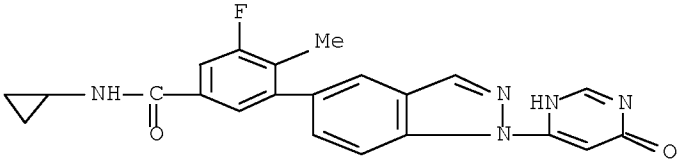
RN 861904-47-4 CAPLUS
CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(3-pyridinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 861904-68-9 CAPLUS
CN Benzamide, N-cyclopropyl-3-[1-(2,6-dimethyl-4-pyrimidinyl)-1H-indazol-5-yl]-5-fluoro-4-methyl- (CA INDEX NAME)

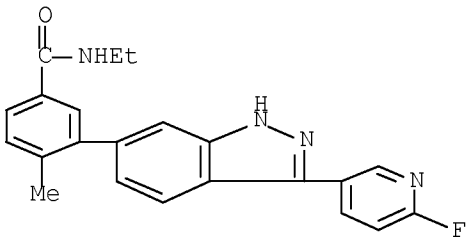


RN 861904-69-0 CAPLUS
CN Benzamide, N-cyclopropyl-3-[1-(1,6-dihydro-6-oxo-4-pyrimidinyl)-1H-indazol-5-yl]-5-fluoro-4-methyl- (CA INDEX NAME)

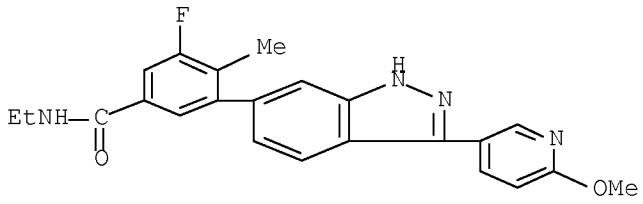


RN 861904-87-2 CAPLUS

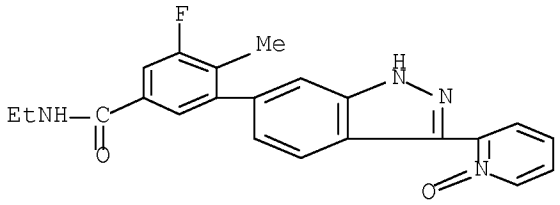
CN Benzamide, N-ethyl-3-[3-(6-fluoro-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



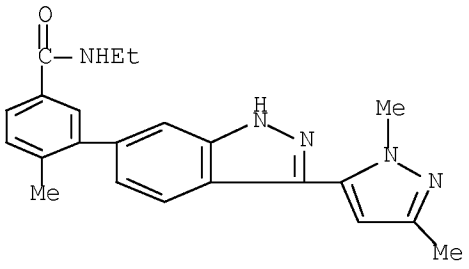
RN 861904-93-0 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-5-[3-(6-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



RN 861904-95-2 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(1-oxido-2-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



RN 861904-97-4 CAPLUS
CN Benzamide, 3-[3-(1,3-dimethyl-1H-pyrazol-5-yl)-1H-indazol-6-yl]-N-ethyl-4-methyl- (CA INDEX NAME)



RN 861905-00-2 CAPLUS
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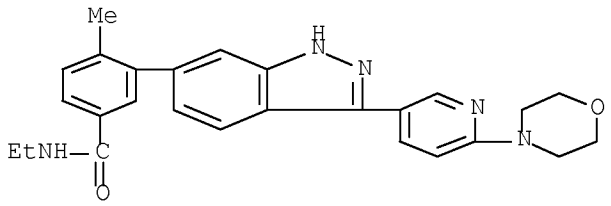
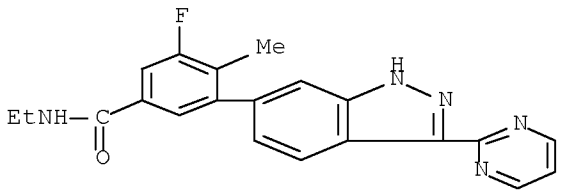
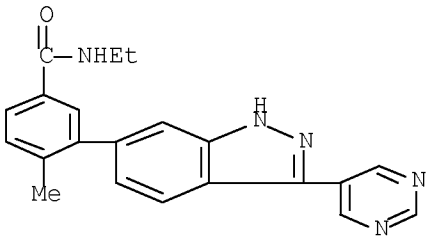


RN 861905-01-3 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(2-pyrimidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



RN 861905-02-4 CAPLUS
CN Benzamide, N-ethyl-4-methyl-3-[3-(5-pyrimidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



RN 861905-03-5 CAPLUS
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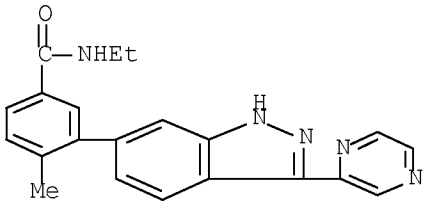
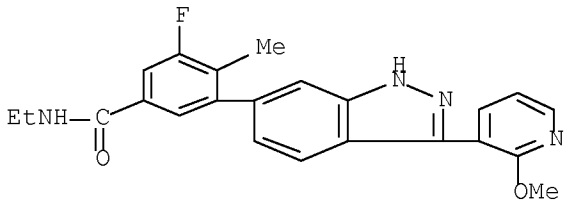
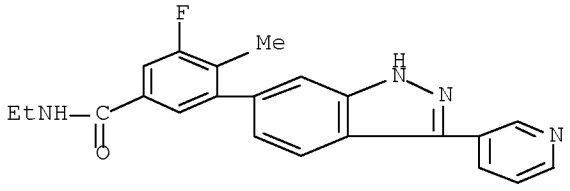


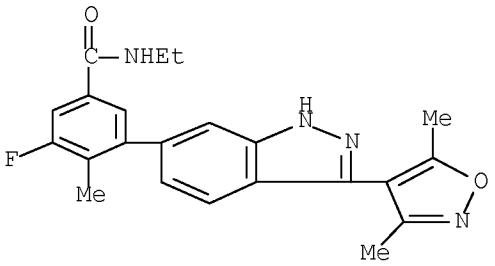
RN 861905-05-7 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-5-[3-(2-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



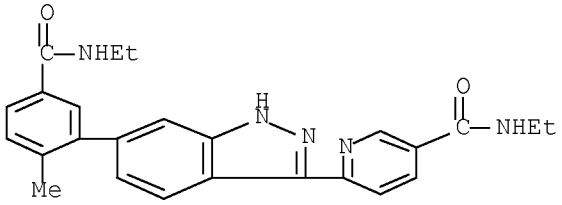
RN 861905-07-9 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(3-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



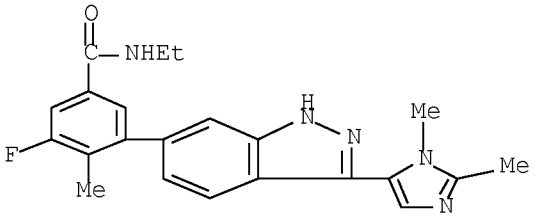
RN 861905-08-0 CAPLUS
CN Benzamide, 3-[3-(3,5-dimethyl-4-isoxazolyl)-1H-indazol-6-yl]-N-ethyl-5-fluoro-4-methyl- (CA INDEX NAME)



RN 861905-09-1 CAPLUS
CN 3-Pyridinecarboxamide, N-ethyl-6-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl]- (CA INDEX NAME)



RN 861905-13-7 CAPLUS
CN Benzamide, 3-[3-(1,2-dimethyl-1H-imidazol-5-yl)-1H-indazol-6-yl]-N-ethyl-5-fluoro-4-methyl- (CA INDEX NAME)



RN 861905-15-9 CAPLUS
CN Formic acid, compd. with N-ethyl-3-fluoro-4-methyl-5-[3-(2-methyl-4-pyridinyl)-1H-indazol-6-yl]benzamide (1:1) (CA INDEX NAME)

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CRN 861905-14-8
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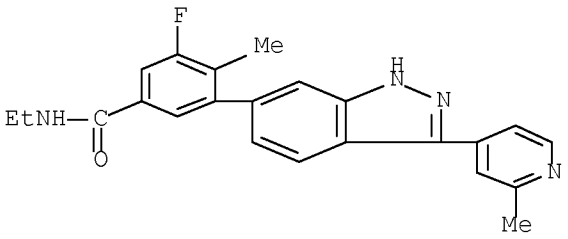

CM 2

CRN 64-18-6
CMF C H2 O2

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:100989 CAPLUS Full-text
DOCUMENT NUMBER: 140:146133
TITLE: Preparation of fused heteroaryls, in particular benzisoxazoles and indazoles, for use as p38 kinase inhibitors in the treatment of rheumatoid arthritis
INVENTOR(S): Angell, Richard Martyn; Baldwin, Ian Robert; Bamborough, Paul; Deboeck, Nigel Marc; Longstaff, Timothy; Swanson, Stephen
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010995	A1	20040205	WO 2003-GB3316	20030730

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003248978	A1	20040216	AU 2003-248978		20030730
EP 1531812	A1	20050525	EP 2003-771208		20030730
EP 1531812	B1	20070627			
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AT 365551	T	20070715	AT 2003-771208		20030730
ES 2289336	T3	20080201	ES 2003-771208		20030730
US 20060122221	A1	20060608	US 2005-522955		20051114
US 7642276	B2	20100105			
PRIORITY APPLN. INFO.:			GB 2002-17757	A	20020731
			WO 2003-GB3316	W	20030730

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:146133
GI

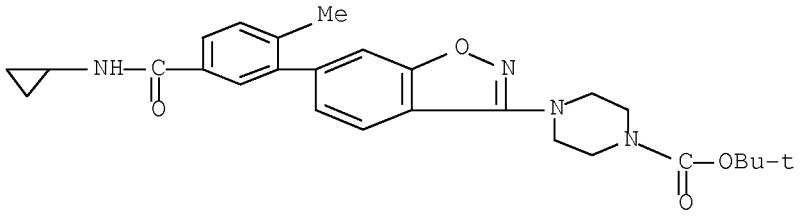
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein ACC = fused 5-membered heteroaryl; R1 = CH3, Cl; R2 = NHCHO and derivs., CONH(CH2)qR3; q = 0-2; R3 = H, cyclo/alkyl, (un)substituted Ph, heteroaryl, etc.; X, Y = independently H, Me, halo] were prepd. as p38 kinase inhibitors for treatment of rheumatoid arthritis. For example, II was prepd. by Pd-cross coupling of 6-bromo-3-piperidin-4-yl-1,2-benzisoxazole and III (prepn. given) at 80.degree. for 18 h. In an in vitro fluorescence anisotropy kinase binding assay, I gave IC50 values < 10 .mu.M for the inhibition of p38 kinase. Thus, I are useful in the treatment of conditions and diseases states mediated by p38 kinase activity or mediated by cytokines produced by the activity of p38, such as rheumatoid arthritis.

IT 651780-05-1P, 1,1-Dimethylethyl 4-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]-1-piperazinecarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)

RN 651780-05-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

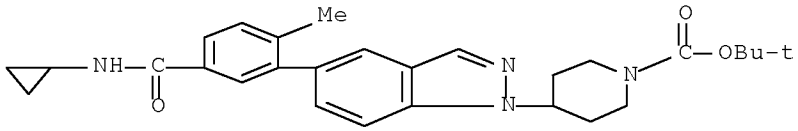


IT 651781-74-7P, 1,1-Dimethylethyl 4-[5-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1H-indazol-1-yl]-1-piperidinecarboxylate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(p38 kinase inhibitor; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)

RN 651781-74-7 CAPLUS

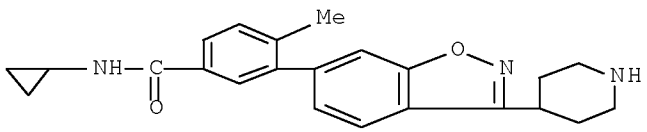
CN 1-Piperidinecarboxylic acid, 4-[5-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1H-indazol-1-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



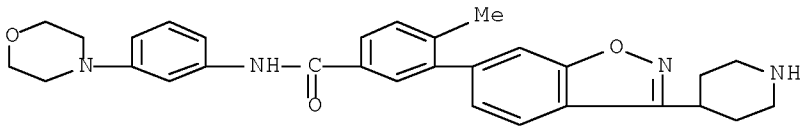
IT 651780-51-7P, N-Cyclopropyl-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-52-8P, 4-Methyl-N-[3-(morpholin-4-yl)phenyl]-3-[3-(Piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-53-9P, N-[4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl]-2-(pyrrolidin-1-yl)isonicotinamide 651780-63-1P, N-(3-Methoxyphenyl)-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-64-2P, 4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]-N-(1,3,4-thiadiazol-2-yl)benzamide 651780-65-3P, N-[4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl]thiophene-3-carboxamide 651780-66-4P, N-[4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl]-3-furancarboxamide 651780-67-5P, N-(Cyclopropylmethyl)-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-82-4P, 4-Methyl-3-(3-piperidin-4-yl-1,2-benzisoxazol-6-yl)-N-(1,3-thiazol-2-yl)benzamide 651780-83-5P, N-Cyclopropyl-4-methyl-3-[3-(1-piperazinyl)-1,2-benzisoxazol-6-yl]benzamide 651780-84-6P, N-Cyclopropyl-4-methyl-3-[3-(morpholin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651781-75-8P, N-Cyclopropyl-4-methyl-3-[1-(4-piperidinyl)-1H-indazol-5-yl]benzamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)

RN 651780-51-7 CAPLUS

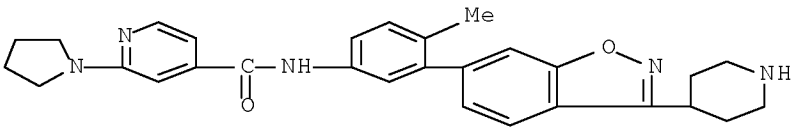
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



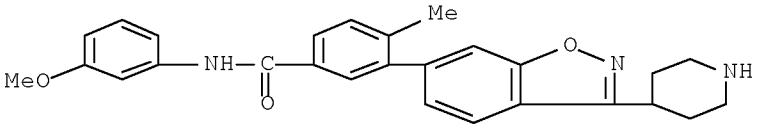
RN 651780-52-8 CAPLUS
CN Benzamide, 4-methyl-N-[3-(4-morpholinyl)phenyl]-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



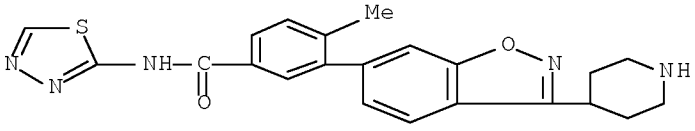
RN 651780-53-9 CAPLUS
CN 4-Pyridinecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



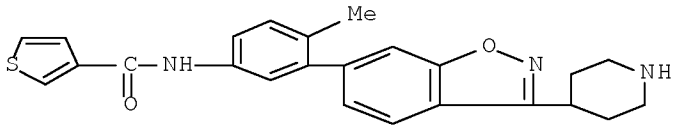
RN 651780-63-1 CAPLUS
CN Benzamide, N-(3-methoxyphenyl)-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



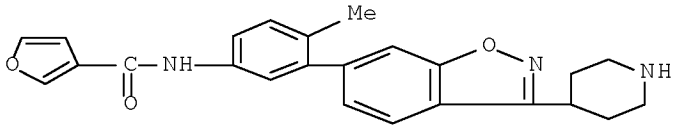
RN 651780-64-2 CAPLUS
CN Benzamide, 4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-N-1,3,4-thiadiazol-2-yl- (CA INDEX NAME)



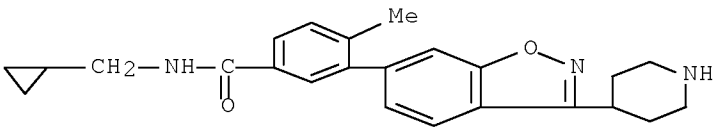
RN 651780-65-3 CAPLUS
CN 3-Thiophenecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]- (CA INDEX NAME)



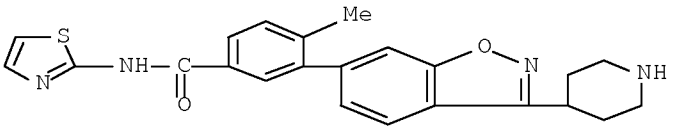
RN 651780-66-4 CAPLUS
CN 3-Furancarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]- (CA INDEX NAME)



RN 651780-67-5 CAPLUS
CN Benzamide, N-(cyclopropylmethyl)-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



RN 651780-82-4 CAPLUS
CN Benzamide, 4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-N-2-thiazolyl- (CA INDEX NAME)



RN 651780-83-5 CAPLUS
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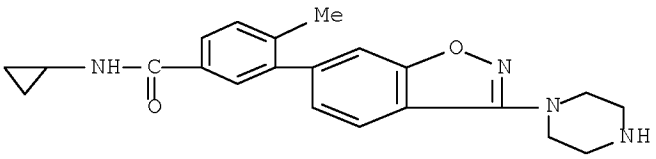

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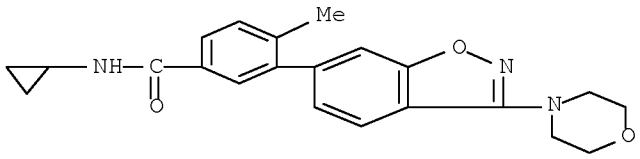
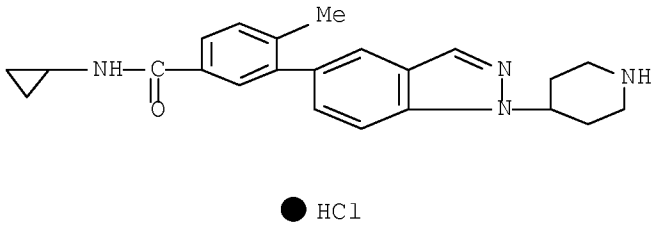
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-morpholinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



RN 651781-75-8 CAPLUS
CN Benzamide, N-cyclopropyl-4-methyl-3-[1-(4-piperidinyl)-1H-indazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

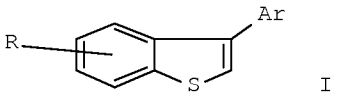
L8 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:851153 CAPLUS Full-text
DOCUMENT NUMBER: 136:5897
TITLE: Preparation of benzothiophene derivatives as 17.alpha.-hydroxylase/C17-20 lyase inhibitors
INVENTOR(S): Shimada, Shinichi; Nomoto, Shin; Okue, Masayuki; Kimura, Kenichi; Nakamura, Junji; Ikeda, Yoshikazu; Takada, Takeko
PATENT ASSIGNEE(S): Snow Brand Milk Products Co., Ltd., Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087878	A1	20011122	WO 2001-JP4189	20010518
W: AU, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,				

PT, SE, TR

CA 2409821	A1	20021118	CA 2001-2409821		20010518
EP 1283209	A1	20030212	EP 2001-932147		20010518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
HU 2003002473	A2	20031128	HU 2003-2473		20010518
NO 2002005475	A	20030115	NO 2002-5475		20021115
US 20030130340	A1	20030710	US 2002-298679		20021118
MX 2002011353	A	20050701	MX 2002-11353		20021118
ZA 2002010202	A	20040317	ZA 2002-10202		20021217
PRIORITY APPLN. INFO.:			JP 2000-146579	A	20000518
			WO 2001-JP4189	W	20010518

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:5897
GI

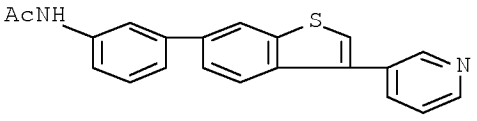


I

AB The title compds. I [Ar is a substituted or unsubstituted arom. heterocyclic group; and R is amino which may be mono- or di-substituted with one or more members selected from among hydroxyl, lower alkyl, lower alkyloxy, halogeno, carboxyl, lower alkyloxycarbonyl, carbamoyl, amino, lower alkyl, and lower acyl ; cyano; optionally substituted phenyl; optionally substituted phenoxy; optionally substituted phenyl-lower alkyl; optionally substituted phenyl-lower alkyloxy; or an optionally substituted arom. heterocyclic group] are prepd. 3-(6-Isopropyloxybenzo[b]thiophen-3-yl)pyridine hydrochloride at 300 nN gave 100% inhibition of 17.alpha.-hydroxylase/C17-20 lyase.

IT 374753-66-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzothiophene derivs. as 17.alpha.-hydroxylase/C17-20 lyase inhibitors)

RN 374753-66-9 CAPLUS
CN Acetamide, N-[3-[3-(3-pyridinyl)benzo[b]thien-6-yl]phenyl]- (CA INDEX NAME)

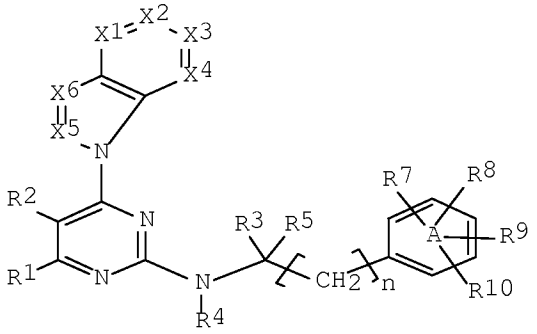


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:12273 CAPLUS Full-text
DOCUMENT NUMBER: 134:86271
TITLE: Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
INVENTOR(S): Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 470 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000213	A1	20010104	WO 2000-US17443	20000626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383546	A1	20010104	CA 2000-2383546	20000626
EP 1206265	A1	20020522	EP 2000-941701	20000626
EP 1206265	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6498165	B1	20021224	US 2000-604305	20000626
JP 2003523942	T	20030812	JP 2001-505922	20000626
AT 253915	T	20031115	AT 2000-941701	20000626
PRIORITY APPLN. INFO.:			US 1999-141639P P	19990630
			WO 2000-US17443 W	20000626

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 134:86271
GI



I

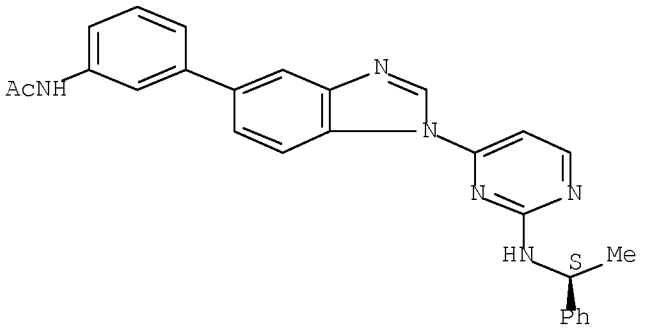
AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered arom. ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given. [This abstr. record is one of 2 records for this document necessitated by the large no. of index entries required to fully index the document and publication system constraints.]

IT 317827-90-0P, 2-[(S)-1-Phenylethylamino]-4-[5-(3-N-acetylaminophenyl)benzimidazol-1-yl]pyrimidine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317827-90-0 CAPLUS

CN Acetamide, N-[3-[1-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



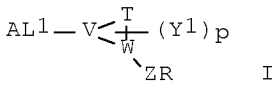
OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l9 ibib abs hitstr tot

L9 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:705584 CAPLUS Full-text
DOCUMENT NUMBER: 149:53991
TITLE: Preparation of benzimidazolylpyrrolidinecarboxylates and related compounds as antivirals
INVENTOR(S): Leivers, Martin Robert; Schmitz, Franz Ulrich; Roberts, Christopher Don; Dehghani Mohammad Abadi, Ali
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008070447	A2	20080612	WO 2007-US85218	20071120
WO 2008070447	A3	20090305		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080193411	A1	20080814	US 2007-943535	20071120
EP 2097405	A2	20090909	EP 2007-871535	20071120
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR				
PRIORITY APPLN. INFO.:			US 2006-860614P	P 20061121

WO 2007-US85218 W 20071120
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 149:53991; MARPAT 149:53991
GI



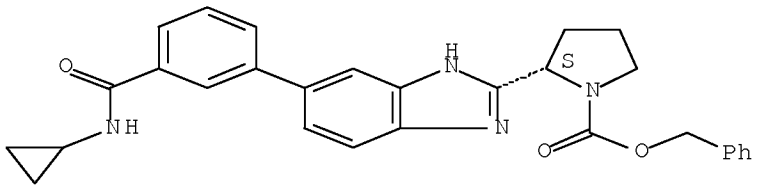
I

AB Title compds. [I; A = (substituted) 3-13 membered cycloalkyl, heterocyclyl, aryl, heteroaryl; L1 = bond, alkylene, heteroalkylene, alkenylene, alkynylene; T = alkylene, heteroalkylene; V, W = CH, N; p = 0-2; Y1 = halo, OH, (substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkoxy, etc.; Z = CO, CS, SO2; R = R1, OR1, OCH2R1, NR1Ra1; R1 = (substituted) alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R1a = H, (substituted) alkyl], were prepd. Thus, benzyl (S)-4-(5-bromo-1H-benzimidazol-2-yl)-2-pyridin-4-ylthiazolidine-3- carboxylate (prepn. given), N-cyclopropyl-4-(4,4,5,5-tetramethyl[1,3,2]dioxaborolan-2-yl)benzamide (prepn. given), Pd(PPh3)4, and aq. NaHCO3 were heated in DMF overnight at 70.degree. to give benzyl (S)-4-[5-(4-cyclopropylcarbamoylphenyl)-1H-benzimidazol-2-yl]-2-pyridin-4-ylthiazolidine-3-carboxylate. The latter at 10 .mu.M showed 99.8% inhibition of hepatitis C activity.

IT 1031746-64-1P 1031747-04-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolylpyrrolidinecarboxylates and related compds. as antivirals)

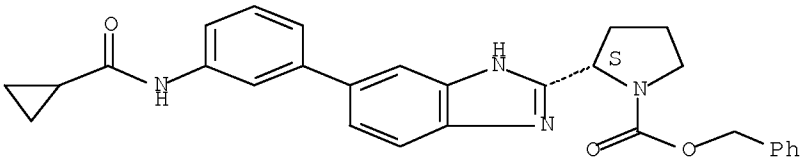
RN 1031746-64-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[6-[3-[(cyclopropylamino)carbonyl]phenyl]-1H-benzimidazol-2-yl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1031747-04-2 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[6-[3-[(cyclopropylcarbonyl)amino]phenyl]-1H-benzimidazol-2-yl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:912148 CAPLUS Full-text
DOCUMENT NUMBER: 147:277628
TITLE: Pyrimidinyl benzothiophene compounds as IKK.beta. kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Dahnke, Karl Robert; Lin, Ho-Shen; Shih, Chuan; Wang, Q May; Zhang, Bo; Richett, Michael Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007092095	A2	20070816	WO 2006-US60911	20061115
WO 2007092095	A3	20071108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006337626	A1	20070816	AU 2006-337626	20061115
CA 2629336	A1	20070816	CA 2006-2629336	20061115
EP 1989200	A2	20081112	EP 2006-850430	20061115
EP 1989200	B1	20090729		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009516697	T	20090423	JP 2008-541463	20061115
AT 437873	T	20090815	AT 2006-850430	20061115
ES 2329085	T3	20091120	ES 2006-850430	20061115
IN 2008DN04016	A	20090320	IN 2008-DN4016	20080507
US 20080306082	A1	20081211	US 2008-93024	20080508
US 7547691	B2	20090616		
ZA 2008003940	A	20090930	ZA 2008-3940	20080508
MX 2008006382	A	20080526	MX 2008-6382	20080516
KR 2008059451	A	20080627	KR 2008-711830	20080516

CN 101309918	A	20081119	CN 2006-80042812		20060516
NO 2008002594	A	20080610	NO 2008-2594		20080610
PRIORITY APPLN. INFO.:			US 2005-738097P	P	20051118
			WO 2006-US60911	W	20061115

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:277628
GI

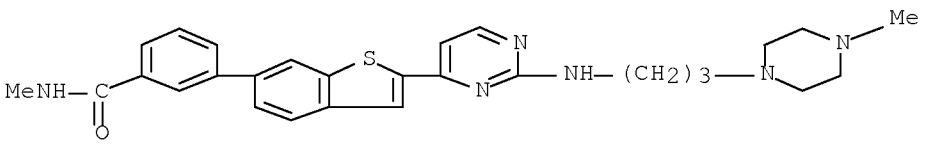
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 2-(pyrimidin-4-yl)benzothiophene derivs. of formula I, which are inhibitors of IKK.beta. kinase. In compds. I, R1 is H, halo, OH, methylthio, sulfamoyl, (un)substituted carbamoyl, etc.; R2 is H, halo, OH, cyano, C1-4 alkyl, or C1-4 alkoxy; R3 is H, halo, or methyl; R4 is (un)substituted amino, (un)substituted aminomethylcyclohexyl, (un)substituted piperidinyl, (un)substituted 2,2,6,6,-tetramethylpiperidin-4-yl, (un)substituted 2,2,6,6-tetramethylpiperidin-4-ylethenyl, (un)substituted 4-(C1-4 alkyl)piperidin-4-yl, or (un)substituted pyrrolidinyl; n is 1-7; and R5 is H when n is 1, and R5 is H or OH when n is 2-7. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. according to formula I in combination with a pharmaceutically acceptable carrier, diluent, or excipient, as well as to the use of the compns. for the treatment of cancer and inflammatory diseases. Conversion of 4-bromobenzo[b]thiophene to the Grignard reagent followed by carboxylation, lithiation, boronation, and Suzuki coupling with 2,4,5-trichloropyrimidine resulted in the formation of benzo[b]thiophene II, which underwent amidation with cyclopropylamine, substitution with 1-(3-aminopropyl)-4-methylpiperazine, and acidification to give tri-hydrochloride salt III. The compds. of the invention are inhibitors of IKK.beta., e.g., compd. III expressed an IC50 value of 46 nM for IKK.beta..

IT 946521-06-8P, N-Methyl-3-[2-[2-[[3-(4-methylpiperazin-1-yl)propyl]amino]pyrimidin-4-yl]benzo[b]thien-6-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyrimidinyl benzothiophene compds. as IKK.beta. kinase inhibitors)

RN 946521-06-8 CAPLUS

CN Benzamide, N-methyl-3-[2-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-4-pyrimidinyl]benzo[b]thien-6-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:14480 CAPLUS Full-text
DOCUMENT NUMBER: 146:121821
TITLE: Preparation of bicyclic derivatives as p38 kinase

inhibitors

INVENTOR(S): Almansa Rosales, Carmen; Virgili Bernado, Marina

PATENT ASSIGNEE(S): J. Uriach y Compania S.A., Spain; Palau Pharma, S.A.

SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

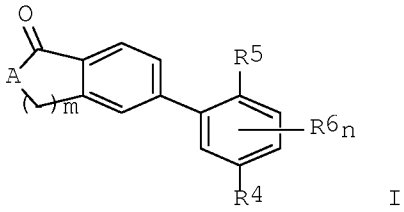
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007000339	A1	20070104	WO 2006-EP6255	20060628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006263961	A1	20070104	AU 2006-263961	20060628
CA 2613720	A1	20070104	CA 2006-2613720	20060628
EP 1917241	A2	20080507	EP 2006-776093	20060628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, RS				
JP 2008544964	T	20081211	JP 2008-518714	20060628
NO 2007005987	A	20080111	NO 2007-5987	20071123
ZA 2007010343	A	20081029	ZA 2007-10343	20071128
MX 2007015531	A	20080306	MX 2007-15531	20071207
KR 2008028870	A	20080402	KR 2007-729139	20071213
US 20090286775	A1	20091119	US 2007-993261	20071220
CN 101208301	A	20080625	CN 2006-80023005	20071226
IN 2007CN06046	A	20080613	IN 2007-CN6046	20071231

PRIORITY APPLN. INFO.: EP 2005-380140 A 20050629
WO 2006-EP6255 W 20060628

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:121821; MARPAT 146:121821

GI



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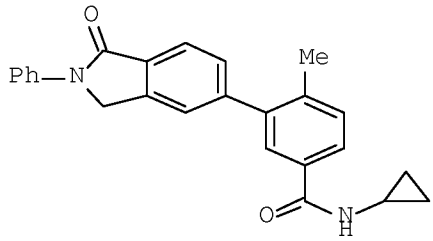


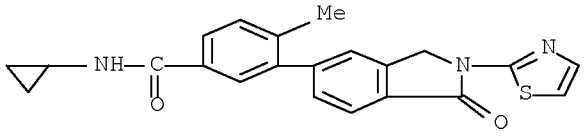
II

AB Title compds. represented by the formula I [wherein A = CR1R2 or NR3; R1, R2 = alkyl; R3, R8 = independently -(CH2)p-Cy1 or (un)substituted alkyl; m = 1 or 2; R4 = -B-R8; R5 = H, halo, alkyl or alkoxy; R6 = halo or Me; p = 0-2; Cy1 = (un)substituted Ph, heteroaryl, cycloalkyl or heterocyclyl; B = -CONR9-, -NR9CO- or -NR9CONR9-; R9 = H or alkyl; or salts thereof] were prepd. as p38 kinase inhibitors. For example, II was provided in a multi-step synthesis starting from 4-bromo-2-methylbenzoic acid. I showed more than 50 % inhibition for p38.alpha. enzyme activity at 10 .mu.M. Thus, I are useful for the treatment of p38 kinase mediated diseases, such as immune diseases.

IT 918332-11-3P, N-Cyclopropyl-4-methyl-3-[1-oxo-2-(thiazol-2-yl)-2,3-dihydroisoindolin-5-yl]benzamide 918332-44-2P, 3-[2-(1-Acetylpiperidin-4-yl)-1-oxo-2,3-dihydroisoindolin-5-yl]-N-cyclopropyl-4-methylbenzamide 918332-45-3P, N-Cyclopropyl-3-[2-(6-methoxypyridin-3-yl)-1-oxo-2,3-dihydroisoindolin-5-yl]-4-methylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic derivs. as p38 kinase inhibitors)

RN 918332-11-3 CAPLUS

CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-1-oxo-2-(2-thiazolyl)-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)



RN 918332-44-2 CAPLUS

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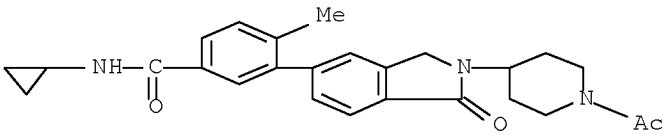
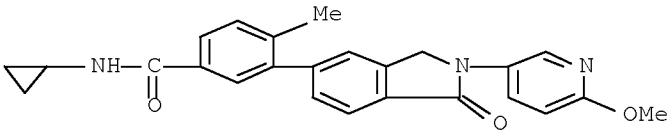


RN 918332-45-3 CAPLUS
CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(6-methoxy-3-pyridinyl)-1-oxo-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> LOG H

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CA SUBSCRIBER PRICE	-10.20	-10.20

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STN INTERNATIONAL SESSION SUSPENDED AT 21:38:38 ON 01 FEB 2010

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Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *

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FILE 'CAPLUS' ENTERED AT 21:50:48 ON 01 FEB 2010
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STRUCTURE FILE UPDATES:   31 JAN 2010  HIGHEST RN 1204295-01-1
DICTIONARY FILE UPDATES:  31 JAN 2010  HIGHEST RN 1204295-01-1

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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L3              STRUCTURE UPLOADED
L4            0 S L3 SAM SSS  SUB=L2
L5           59 S L3 FULL SSS  SUB=L2
L6              STRUCTURE UPLOADED
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L7 6 S L6 FULL SSS SUB=L2

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L8 9 S L5
L9 3 S L7
L10 9 S L8 NOT L9

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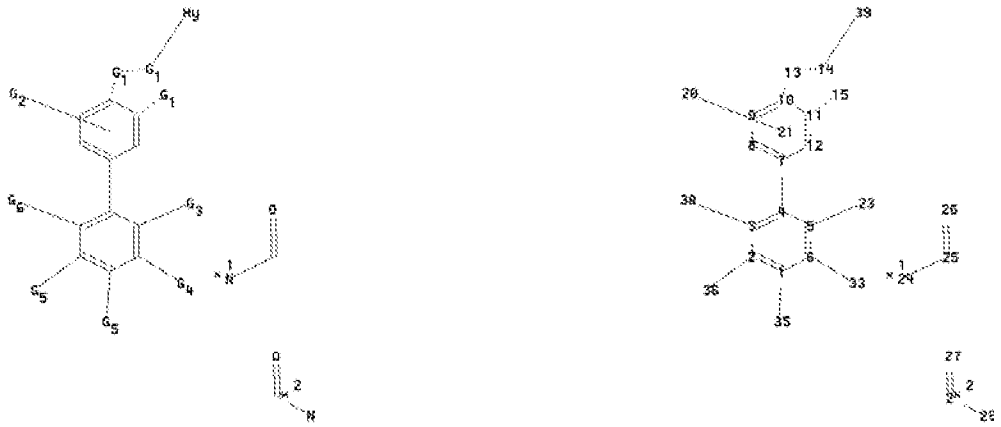

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20 23 24 25 26 27 28 29 33 35 36 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
1-35 2-36 3-38 4-7 5-23 6-33 14-39 24-25 25-26 27-28 28-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 10-13 11-12 11-15 13-14
14-15
exact/norm bonds :
1-35 2-36 3-38 4-7 5-23 6-33 10-13 11-15 13-14 14-15 14-39 24-25 25-26 27-28 28-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 :

G1:C,O,S,N

G2:O,CH,t-Bu,X,H

G3:H,CH3,Et,n-Pr

G4:[*1],[*2]

G5:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

```
G6:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  20:CLASS  21:Atom  23:CLASS  24:CLASS
25:CLASS  26:CLASS
27:CLASS  28:CLASS  29:CLASS  33:CLASS  35:CLASS  36:CLASS  38:CLASS  39:Atom
Generic attributes :
39:
Number of Carbon Atoms : less than 7
Type of Ring System    : Monocyclic

Element Count :
Node 39: Limited
    O,O2
    S,S2
    N,N2




L11     STRUCTURE UPLOADED

=> d
L11 HAS NO ANSWERS
L11             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


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L11 HAS NO ANSWERS
'FULL SSS ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
ENTER STRUCTURE FORMAT (SIM), NOS:end

=> s l11 full sss sub=l2
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FULL SUBSET SCREEN SEARCH COMPLETED -      958 TO ITERATE

100.0% PROCESSED      958 ITERATIONS                              6 ANSWERS
SEARCH TIME: 00.00.01

L12           6 SEA SUB=L2 SSS FUL L11

=> file caplus
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CA SUBSCRIBER PRICE                                     0.00     -10.20

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FILE COVERS 1907 - 1 Feb 2010 VOL 152 ISS 6
FILE LAST UPDATED: 31 Jan 2010 (20100131/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L13 3 L12

=> s l13 not l9
L14 0 L13 NOT L9

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=>
Executing the logoff script...

=> LOG H

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE	0.00	-10.20

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 21:54:18 ON 01 FEB 2010